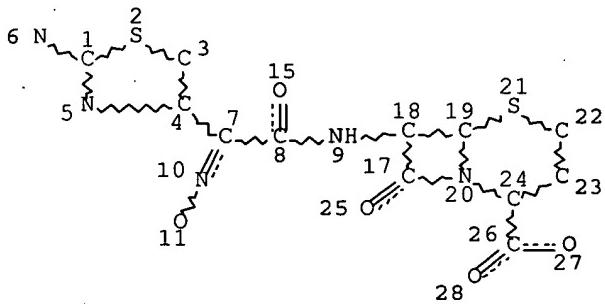


=> d que 127

L8 STR



Search log 3  
3 of 3?

NODE ATTRIBUTES:

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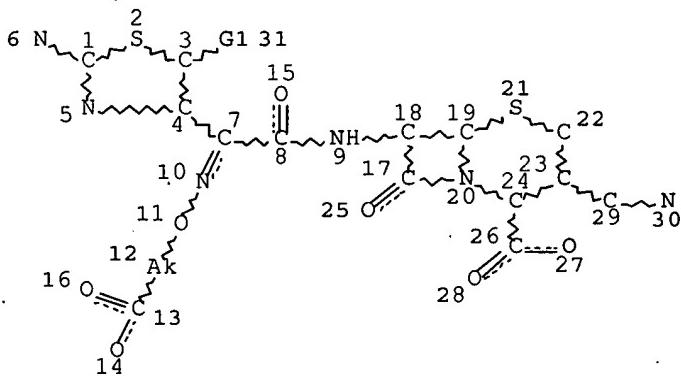
RSPEC I

NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

L10 27085 SEA FILE=REGISTRY SSS FUL L8

L14 STR



VAR G1=C/X/O/S

NODE ATTRIBUTES:

NSPEC IS RC AT 30  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE

L16 275 SEA FILE=REGISTRY SUB=L10 SSS FUL L14

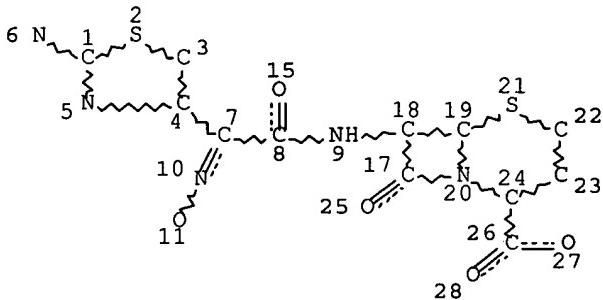
L17 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L16

L24 305 SEA FILE=HCAPLUS ABB=ON PLU=ON NISHITANI, Y?/AU

10/507,502

L25 422 SEA FILE=HCAPLUS ABB=ON PLU=ON YAMANO, Y?/AU  
L26 1 SEA FILE=HCAPLUS ABB=ON PLU=ON (L24 OR L25) AND L17  
L27 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L17 NOT L26

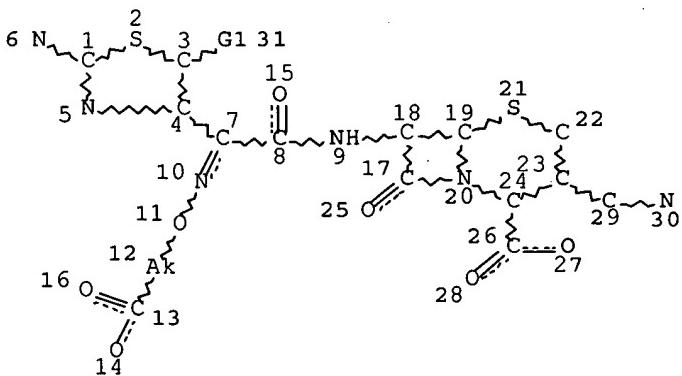
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L8 STR



NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE  
L10 27085 SEA FILE=REGISTRY SSS FUL L8  
L14 STR



VAR G1=C/X/O/S  
NODE ATTRIBUTES:  
NSPEC IS RC AT 30  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE

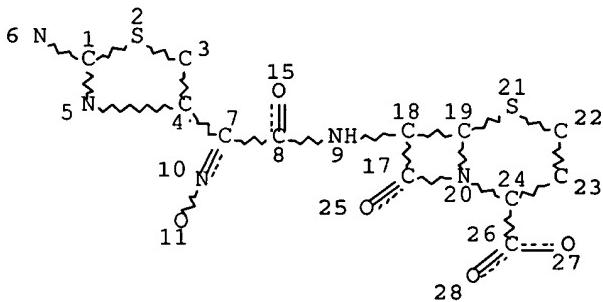
L16 275 SEA FILE=REGISTRY SUB=L10 SSS FUL L14  
 L23 0 SEA FILE=BEILSTEIN ABB=ON PLU=ON L16

=&gt; d que l24

L24 305 SEA FILE=HCAPLUS ABB=ON PLU=ON NISHITANI, Y?/AU

=&gt; d que l22

L8 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

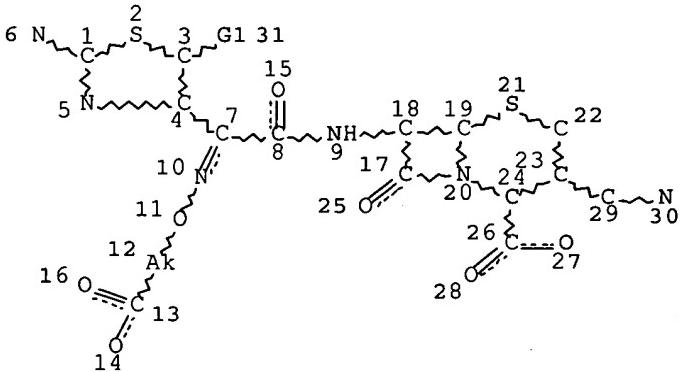
GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

L10 27085 SEA FILE=REGISTRY SSS FUL L8  
 L14 STR



VAR G1=C/X/O/S

NODE ATTRIBUTES:

NSPEC IS RC AT 30

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE  
 L16 275 SEA FILE=REGISTRY SUB=L10 SSS FUL L14  
 L22 0 SEA FILE=CAOLD ABB=ON PLU=ON L16

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L27 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:787716 HCAPLUS Full-text  
 DOCUMENT NUMBER: 145:210796  
 TITLE: Process for preparation of N-(4-pyridyl)ethylenediamine derivatives  
 INVENTOR(S): Shimizu, Sumio; Hakogi, Toshikazu; Tanimoto, Norihiko  
 PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 28pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

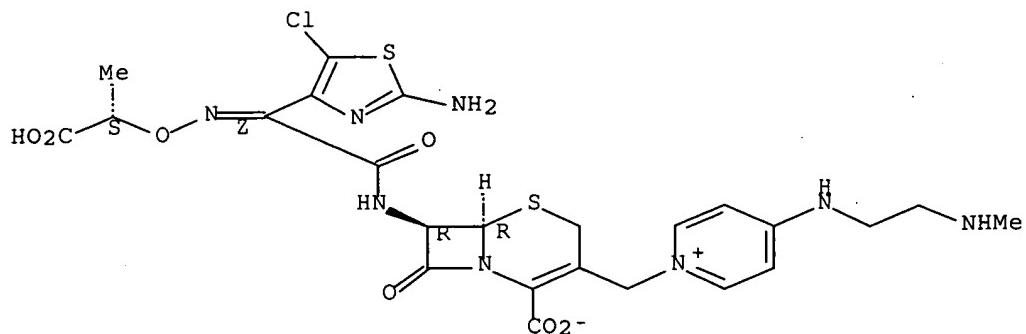
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006206529	A	20060810	JP 2005-22598	20050131
PRIORITY APPLN. INFO.:			JP 2005-22598	20050131

OTHER SOURCE(S): MARPAT 145:210796  
 ED Entered STN: 10 Aug 2006  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB This invention pertains to a method for producing N-(4-pyridyl)ethylenediamine derivs. with general formula of I and II-X- [wherein R = H, alkyl, or (un)substituted aralkyl; R1 = H, alkoxy carbonyl, etc.; R2 and R3 = H or =O; R4 = H, alkoxy carbonyl, etc.; R5 = alkyl, alkoxy carbonyl, etc.; R6-R8 = independently a protecting group; R9 = H, alkyl, or halo; R10 = alkyl; X = a leaving group] or salts thereof. For example, the compound III was prepared in a multi-step synthesis in good yield.  
 IT 604001-47-0P  
 (preparation of N-(4-pyridyl)ethylenediamine derivs.)  
 RN 604001-47-0 HCAPLUS  
 CN Pyridinium, 1-[(6R,7R)-7-[(2Z)-(2-amino-5-chloro-4-thiazolyl)[(1S)-1-carboxyethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl)methyl]-4-[(2-(methylamino)ethyl]amino]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



CC 26-5 (Biomolecules and Their Synthetic Analogs)  
 IT 604001-47-0P 905280-04-8P 905280-05-9P 905280-06-0P  
 905280-08-2P 905280-09-3P 905280-10-6P  
 (preparation of N-(4-pyridyl)ethylenediamine derivs.)

L27 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:348558 HCAPLUS Full-text

DOCUMENT NUMBER: 145:7928

TITLE: Preparation of cephem compounds for use in  
antibacterial pharmaceutical compositions

INVENTOR(S): Okuda, Shinya; Murano, Kenji; Itoh, Kenji; Misumi,  
Keiji; Satoh, Kenji; Kawabata, Kohji; Toda, Ayako;  
Inoue, Satoshi; Ohki, Hidenori; Yamanaka, Toshio

PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan; Astellas  
Pharma, Inc.

SOURCE: Aust. Pat. Appl., 96 pp.

CODEN: AUXXCM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

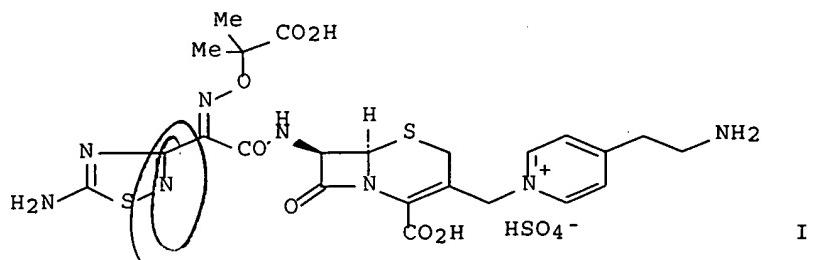
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2005202802	A1	20060112	AU 2005-202802	20050627
PRIORITY APPLN. INFO.:			AU 2004-903529	A 20040628
			AU 2004-903705	A 20040706

OTHER SOURCE(S): MARPAT 145:7928

ED Entered STN: 17 Apr 2006

GI



AB Cephem derivs., such as I, were prepared starting from 4-methoxybenzyl 7 $\beta$ -amino-3-(chloromethyl)-3-cephem-4-carboxylate hydrochloride for therapeutic use in the treatment of bacterial infections. The prepared cephems were assayed for antibacterial activity against *Pseudomonas aeruginosa* FP 1456.

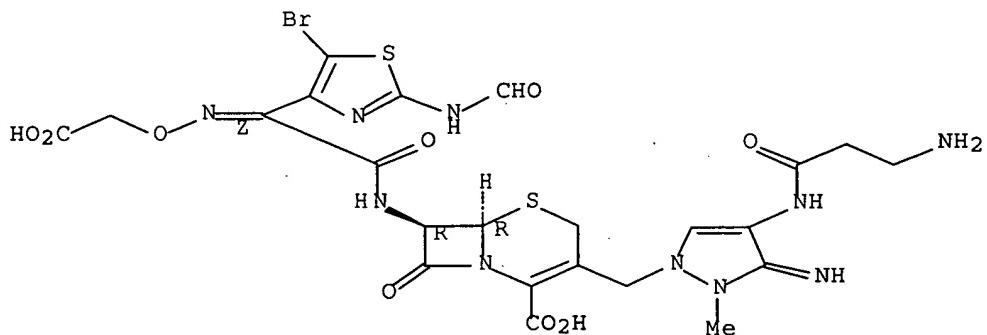
IT 887775-77-1P  
(preparation of cephem compds. for use in antibacterial pharmaceutical compns.)

RN 887775-77-1 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[(2Z)-[5-bromo-2-(formylamino)-4-thiazolyl][(carboxymethoxy)imino]acetyl]amino]-3-[[4-[(3-amino-1-oxopropyl)amino]-2,3-dihydro-3-imino-2-methyl-1H-pyrazol-1-yl]methyl]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 887775-65-7P 887775-67-9P 887775-74-8P  
887775-79-3P 887775-82-8P 887775-87-3P  
(preparation of cephem compds. for use in antibacterial pharmaceutical compns.)

RN 887775-65-7 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[(2Z)-[2-amino-5-chloro-4-thiazolyl][(carboxymethoxy)imino]acetyl]amino]-3-[[4-[(3-amino-1-oxopropyl)amino]-2,3-dihydro-3-imino-2-methyl-1H-pyrazol-1-yl]methyl]-8-oxo-, (6R,7R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

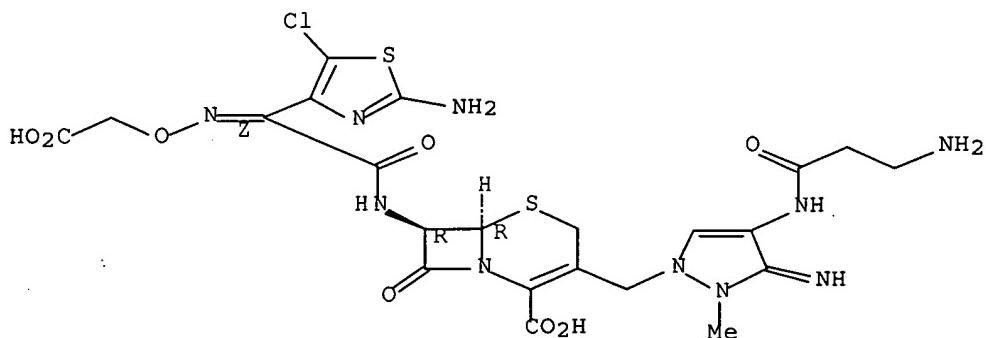
CM 1

CRN 887775-64-6

10/507,502

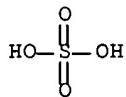
CMF C22 H25 Cl N10 O8 S2

Absolute stereochemistry.  
Double bond geometry as shown.



CM 2

CRN 7664-93-9  
CMF H2 O4 S

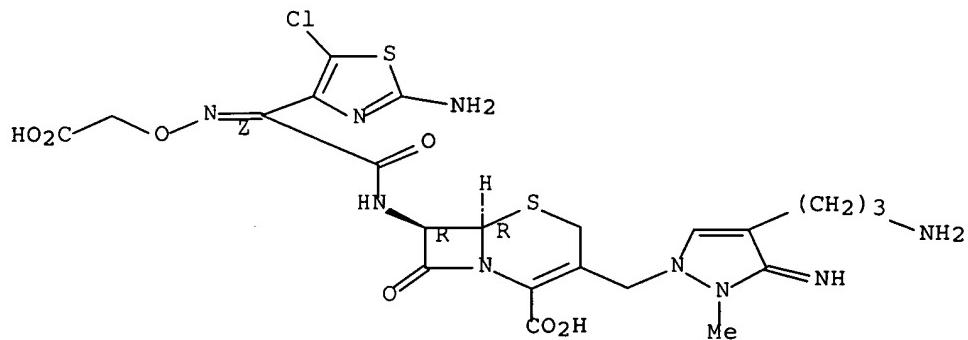


RN 887775-67-9 HCPLUS  
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[(2Z)-2-amino-5-chloro-4-thiazolyl][(carboxymethoxy)imino]acetyl]amino]-3-[[4-(3-aminopropyl)-2,3-dihydro-3-imino-2-methyl-1H-pyrazol-1-yl]methyl]-8-oxo-, (6R,7R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 887775-66-8  
CMF C22 H26 Cl N9 O7 S2

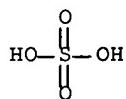
Absolute stereochemistry.  
Double bond geometry as shown.



CM 2

CRN 7664-93-9

CMF H2 O4 S

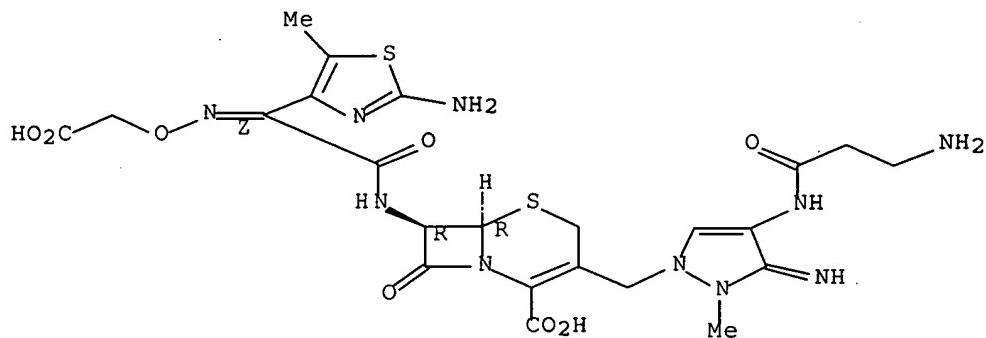


RN 887775-74-8 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[[(2Z)-(2-amino-5-methyl-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-[[4-[(3-amino-1-oxopropyl)amino]-2,3-dihydro-3-imino-2-methyl-1H-pyrazol-1-yl]methyl]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



RN 887775-79-3 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[[(2Z)-(2-amino-5-bromo-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-[[4-[(3-amino-1-oxopropyl)amino]-2,3-dihydro-3-imino-2-methyl-

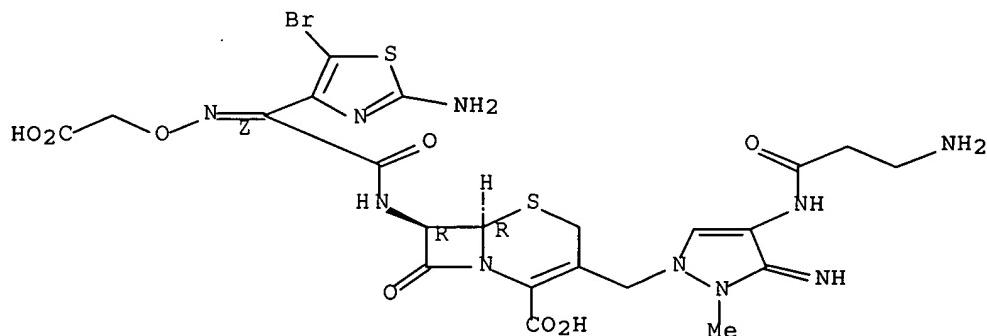
10/507,502

1H-pyrazol-1-yl]methyl]-8-oxo-, (6R,7R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

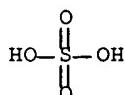
CRN 887775-78-2  
CMF C22 H25 Br N10 O8 S2

Absolute stereochemistry.  
Double bond geometry as shown.



CM 2

CRN 7664-93-9  
CMF H2 O4 S

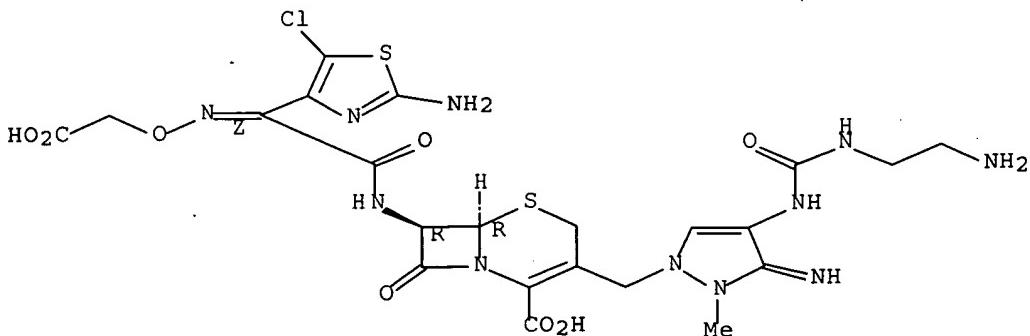


RN 887775-82-8 HCAPLUS  
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[2Z)-(2-amino-5-chloro-4-thiazolyl][(carboxymethoxy)imino]acetyl]amino]-3-[[4-[[[(2-aminoethyl)amino]carbonyl]amino]-2,3-dihydro-3-imino-2-methyl-1H-pyrazol-1-yl)methyl]-8-oxo-, (6R,7R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

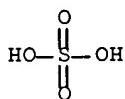
CM 1

CRN 887775-81-7  
CMF C22 H26 Cl N11 O8 S2

Absolute stereochemistry.  
Double bond geometry as shown.



CM 2

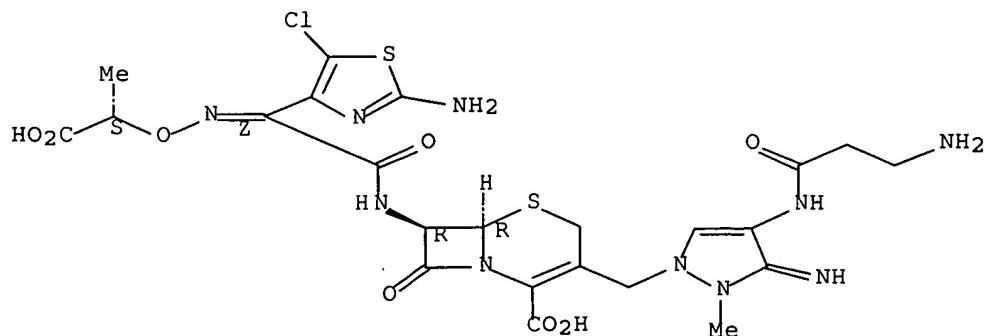
CRN 7664-93-9  
CMF H2 O4 S

RN 887775-87-3 HCPLUS  
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[[(2Z)-(2-amino-5-chloro-4-thiazolyl)[[(1S)-1-  
 carboxyethoxy]imino]acetyl]amino]-3-[[4-[(3-amino-1-oxopropyl)amino]-  
 2,3-dihydro-3-imino-2-methyl-1H-pyrazol-1-yl]methyl]-8-oxo-, (6R,7R)-,  
 sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

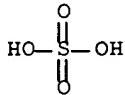
CRN 887775-86-2  
CMF C23 H27 Cl N10 O8 S2

Absolute stereochemistry.  
 Double bond geometry as shown.



CM 2

CRN 7664-93-9  
 CMF H2 O4 S



CC 26-5 (Biomolecules and Their Synthetic Analogs)  
 Section cross-reference(s): 1, 10, 63  
 IT 887775-77-1P  
 (preparation of cephem compds. for use in antibacterial pharmaceutical  
 compns.)  
 IT 864780-68-7P 864780-74-5P 887775-58-8P 887775-59-9P  
 887775-60-2P 887775-61-3P 887775-62-4P 887775-63-5P  
 887775-65-7P 887775-67-9P 887775-74-8P  
 887775-79-3P 887775-82-8P 887775-87-3P  
 (preparation of cephem compds. for use in antibacterial pharmaceutical  
 compns.)

L27 ANSWER 3 OF 7 HCPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:58212 HCPLUS Full-text  
 DOCUMENT NUMBER: 142:134930  
 TITLE: Preparation of cross-linked glycopeptide-  
 cephalosporin antibiotics  
 INVENTOR(S): Fatheree, Paul R.; Linsell, Martin S.; Marquess,  
 Daniel; Trapp, Sean G.; Moran, Edmund J.; Aggen,  
 James B.  
 PATENT ASSIGNEE(S): Theravance, Inc., USA  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005436	A2	20050120	WO 2004-US22319	20040709
WO 2005005436	A3	20050310		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,			

GW, ML, MR, NE, SN, TD, TG				
US 2005026818	A1	20050203	US 2004-888849	20040709
US 7067482	B2	20060627		
EP 1644382	A2	20060412	EP 2004-778030	20040709
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US 2006189517	A1	20060824	US 2006-405331	20060417
PRIORITY APPLN. INFO.:				
US 2003-486484P P 20030711				
US 2004-888849 A1 20040709				
WO 2004-US22319 W 20040709				

OTHER SOURCE(S) : MARPAT 142:134930

ED Entered STN: 21 Jan 2005

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

**AB** The invention provides cross-linked glycopeptide-cephalosporin compds. I [R is fragment II; X<sub>1</sub>, X<sub>2</sub> are independently H or Cl; W is N or CCl; R<sub>1</sub>, R<sub>2</sub> are independently H or alkyl; R<sub>3</sub> is alkyl, alkoxy, halo, alkylthio, alkylsulfinyl, alkylsulfonyl or alkoxy sulfonyl which may be substituted by CO<sub>2</sub>H or F; one of R<sub>4</sub> and R<sub>5</sub> is H and the other is OH; R<sub>6</sub>, R<sub>7</sub> are independently H or Me; R<sub>8</sub> is H or 4-amino-3-hydroxy-2,4-dimethyltetrahydro-2H-pyran-2-yl; R<sub>9</sub> is H or (cyclo)alkyl which may be substituted by CO<sub>2</sub>H or 1-3 F atoms; n is 0-3; X is -Ra(NRbCO-Rc)0-2-(CONRb'CO-Rc')0-2-, where Ra is -Y-R''; R'' contains at most 20 non-hydrogen atoms and is defined as (un)substituted alkylene, alkenylene, alkynylene, cycloalkylene, arylene, heteroarylene or heterocycl; Y links R to the pyridinium ring at a meta or para position and is a direct bond, NR', O, S, CO, NR'CO or CONR' (R' is H or alkyl), precluding direct bonds between heteroatoms in Y and R; Rb, Rb' are independently H, alkyl, alkenyl or alkynyl; Rc is independently -Y'-R''-Y'-, where each Y' is independently a direct bond, O or NR', precluding direct bonds between heteroatoms in Y' and R; Rc' is a group defined by R''] and their pharmaceutically-acceptable salts which are useful as antibiotics. The invention also provides pharmaceutical compns., methods for treating bacterial infections in a mammal, and processes and intermediates useful for preparing such compds. Thus, vancomycin hydrochloride was treated with ethylenediamine/formaldehyde and pyridinium lactam II (W is CCl, X is 4-CH<sub>2</sub>NH<sub>2</sub>, n is 0, R<sub>9</sub> is Me) (prepared from an aminocephalosporonic ester) was amidated with adipic acid bis-HOAT ester. Coupling of the products afforded a glycopeptide-cephalosporin conjugate which showed MIC < 0.1 µg/mL for inhibition of methicillin-resistant and methicillin-susceptible *S. aureus* (vancomycin MIC = 2.0 and 1.0 µg/mL, resp.).

**IT** 827040-36-8P 827040-37-9P

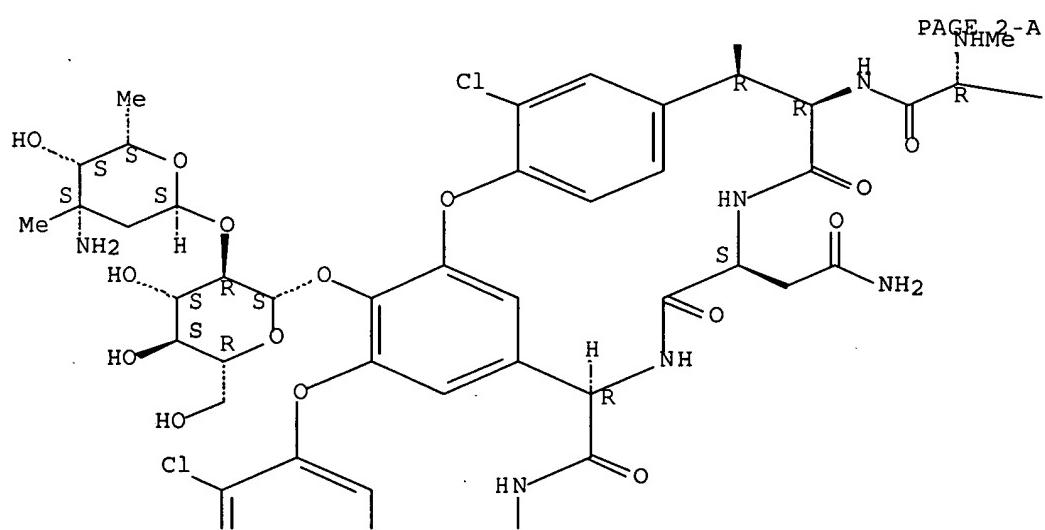
(preparation of cross-linked glycopeptide-cephalosporin antibiotics)

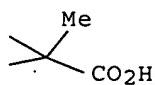
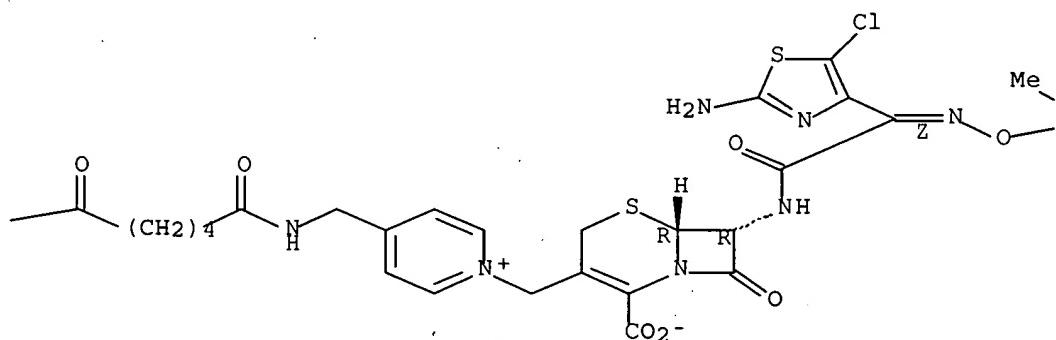
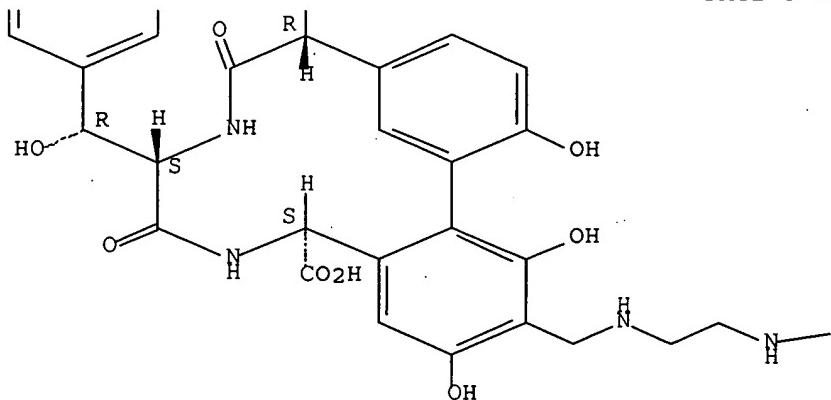
**RN** 827040-36-8 HCPLUS**CN** Vancomycin, 29-[[2-[[6-[[1-[[6R,7R]-7-[(2Z)-(2-amino-5-chloro-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]pyridinium-4-yl]methyl]amino]-1,6-dioxohexyl]amino]ethyl]amino]methyl]-, inner salt  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

OH



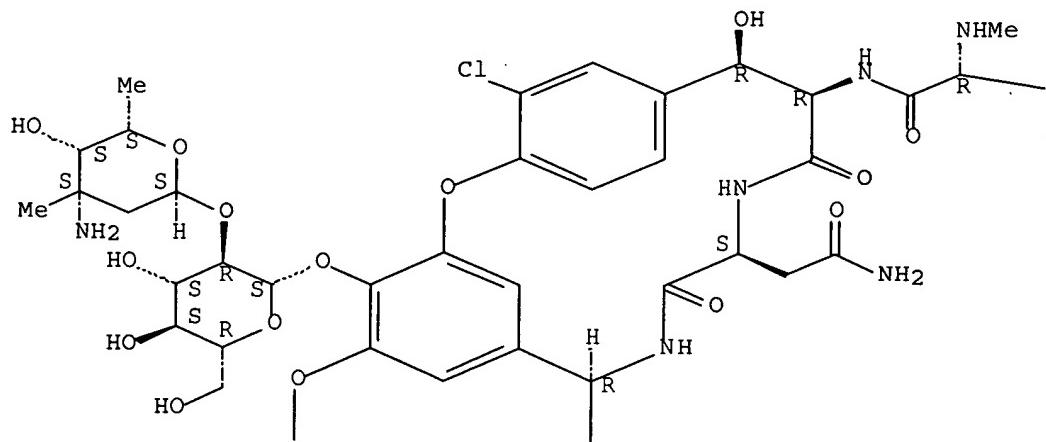


RN 827040-37-9 HCPLUS  
 CN Vancomycin, 29-[[2-[[4-[[1-[[6R,7R)-7-[[2Z)--(2-amino-5-chloro-4-

thiazolyl) [(1-carboxy-1-methylethoxy) imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]pyridinium-3-yl]methyl]amino]-1,4-dioxobutyl]amino]ethyl]amino)methyl]-, inner salt (9CI) (CA INDEX NAME)

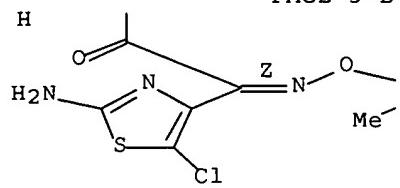
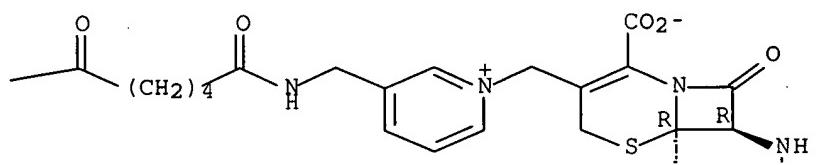
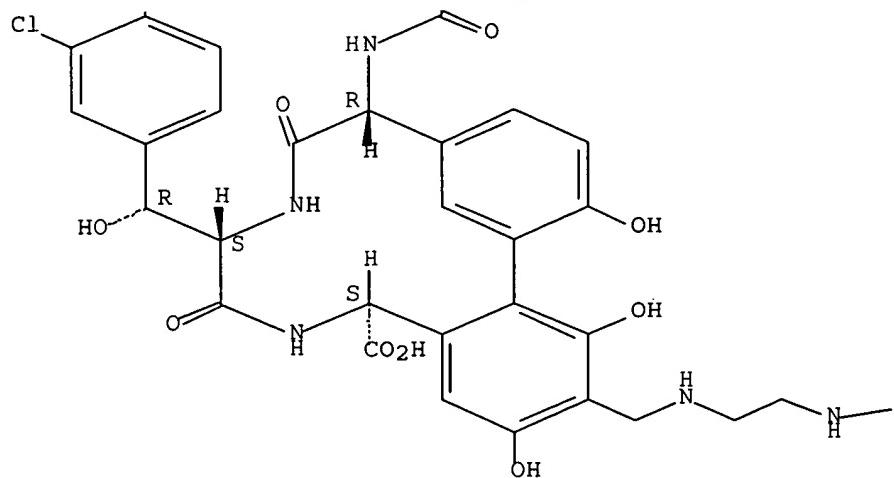
Absolute stereochemistry.  
Double bond geometry as shown.

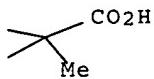
PAGE 1-A



PAGE 1-B

—Bu-i





IC ICM C07D501-00  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1, 26, 33, 63  
 IT 827040-07-3P 827040-08-4P 827040-09-5P 827040-10-8P  
 827040-11-9P 827040-12-0P 827040-13-1P 827040-14-2P  
 827040-15-3P 827040-16-4P 827040-17-5P 827040-18-6P  
 827040-19-7P 827040-20-0P 827040-21-1P 827040-22-2P  
 827040-23-3P 827040-24-4P 827040-25-5P 827040-26-6P  
 827040-27-7P 827040-28-8P 827040-29-9P 827040-30-2P  
 827040-31-3P 827040-32-4P 827040-33-5P 827040-34-6P  
 827040-35-7P 827040-36-8P 827040-37-9P  
 827040-38-0P 827040-39-1P 827040-40-4P 827040-41-5P  
 827040-43-7P 827040-44-8P 827040-45-9P 827040-46-0P  
 827040-47-1P 827040-48-2P 827040-49-3P 827040-50-6P  
 827040-51-7P 827040-53-9P 827040-54-0P 827040-55-1P  
 827040-56-2P 827040-57-3P 827040-58-4P 827040-59-5P  
 827040-60-8P 827040-61-9P 827040-62-0P 827040-63-1P  
 (preparation of cross-linked glycopeptide-cephalosporin antibiotics)

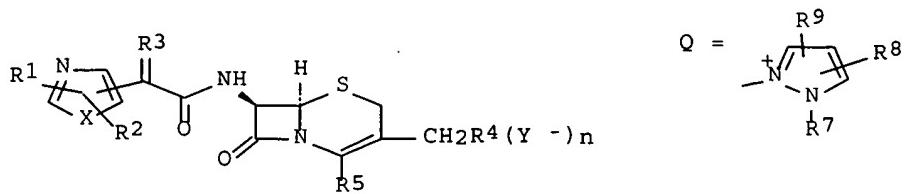
L27 ANSWER 4 OF 7 HCPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1997:740235 HCPLUS Full-text  
 DOCUMENT NUMBER: 128:13170  
 TITLE: 3-pyrazoliomethylcephem compounds as antimicrobial agents  
 INVENTOR(S): Kawabata, Kohji; Okuda, Shinya; Kishi, Kohei;  
 Eikyu, Yoshiteru; Takasugi, Hisashi  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 99 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741128	A1	19971106	WO 1997-JP1416	19970424
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9724055	A	19971119	AU 1997-24055	19970424
PRIORITY APPLN. INFO.:			AU 1996-9555	A 19960430
			WO 1997-JP1416	W 19970424

OTHER SOURCE(S): MARPAT 128:13170

ED Entered STN: 24 Nov 1997

GI



**AB** Synthesis of cephems (I) [R1 = (un)substituted amino; R2 = halo, alkyl, (un)substituted alkylthio; R3 = =NOR6; R4 = Q; R5 = CO2-, (un)substituted carboxy; R6 = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl; R7 = OH, (un)substituted O, (un)substituted alkyl; R8 = (un)substituted amino; R9 = H, alkyl, heterocycle; X = S, O; Y = anion; n = 0, 1] and suitable salts is described. Thus, I (R1 = NH2, R2 = Cl, R3 = =NOCH2CN, R4 = Q, R5 = CO2H, R7 = CH2CH2OH, R8 = =NH, R9 = H, X = S) (II) is prepared by the condensation of (Z)-2-cyanomethoxyimino-2-(2-amino-5-chlorothiazol-4-yl)acetic acid with 7 $\beta$ -amino-3-[5-imino-1-(2-hydroxyethyl)-2-pyrazolyl]-methyl-3-cephem-4-carboxylic acid. II shows an MIC of 6.25  $\mu\text{g/mL}$  against *S. aureus* 3004 when incubated at 37°C for 20 h.

**IT** 199002-35-2P 199002-57-8P

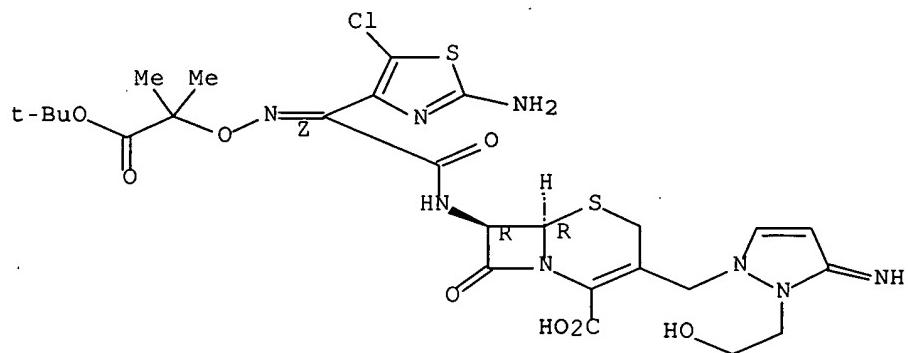
(preparation of 3-pyrazoliomethylcephem compds. as antimicrobial agents)

**RN** 199002-35-2 HCPLUS

**CN** 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[(2-amino-5-chloro-4-thiazolyl)[[2-(1,1-dimethylethoxy)-1,1-dimethyl-2-oxoethoxy]imino]acetyl]amino]-3-[[2,3-dihydro-2-(2-hydroxyethyl)-3-imino-1H-pyrazol-1-yl]methyl]-8-oxo-,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

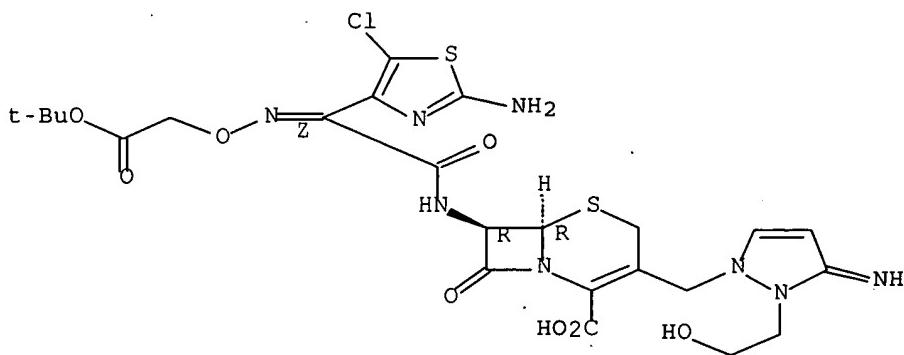


**RN** 199002-57-8 HCPLUS

**CN** 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[(2-amino-5-chloro-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-3-[[2,3-dihydro-2-(2-hydroxyethyl)-3-imino-1H-pyrazol-1-yl]methyl]-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



IT 199002-33-0P 199002-36-3P 199002-63-6P

199002-67-0P 199002-68-1P

(preparation of 3-pyrazoliomethylcephem compds. as antimicrobial agents)

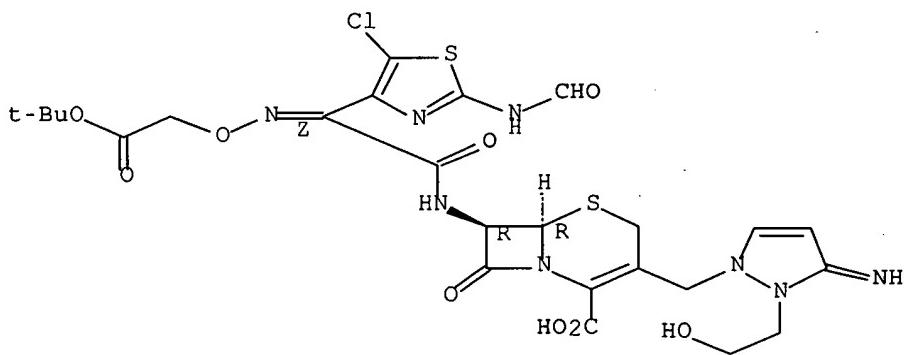
RN 199002-33-0 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[5-chloro-2-(formylamino)-4-thiazolyl][[2-(1,1-dimethylethoxy)-2-  
oxoethoxy]imino]acetyl]amino]-3-[[2,3-dihydro-2-(2-hydroxyethyl)-3-  
imino-1H-pyrazol-1-yl]methyl]-8-oxo-, [6R-[6α,7β(Z)]]-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

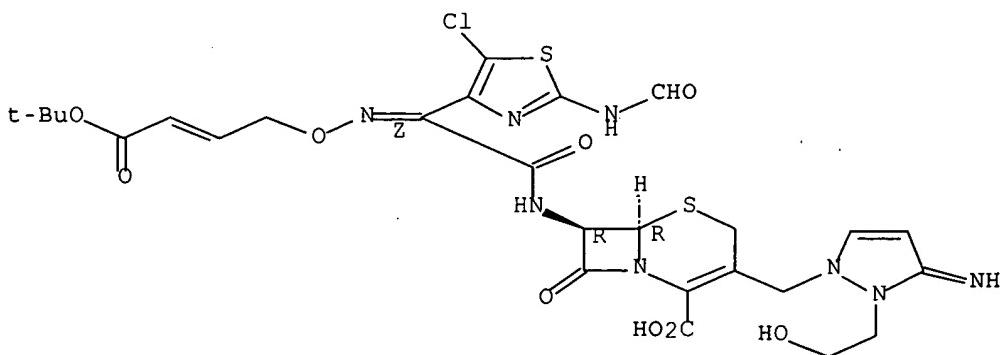


RN 199002-36-3 HCPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[5-chloro-2-(formylamino)-4-thiazolyl][[4-(1,1-dimethylethoxy)-4-  
oxo-2-but enyl]oxy]imino]acetyl]amino]-3-[[2,3-dihydro-2-(2-  
hydroxyethyl)-3-imino-1H-pyrazol-1-yl]methyl]-8-oxo-,  
[6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

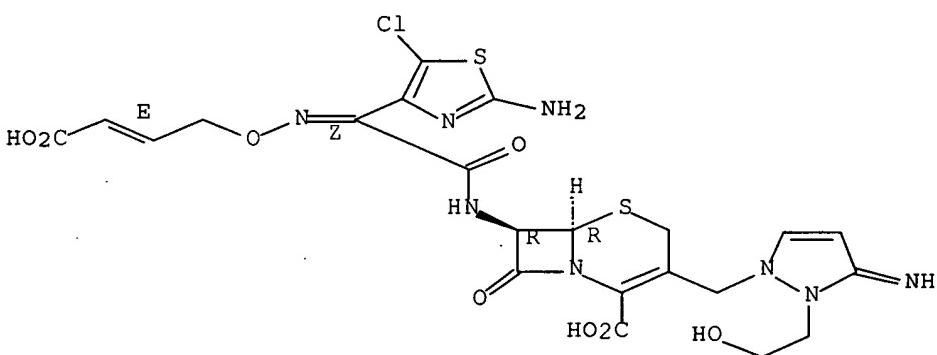


RN 199002-63-6 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[(2-amino-5-chloro-4-thiazolyl)[[(3-carboxy-2-  
propenyl)oxy]imino]acetyl]amino]-3-[[2,3-dihydro-2-(2-hydroxyethyl)-3-  
imino-1H-pyrazol-1-yl]methyl]-8-oxo-, [6R-[6α,7β(Z(E))]]-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

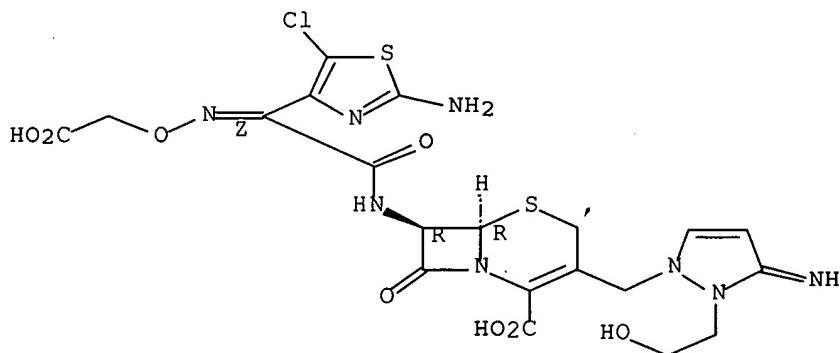


RN 199002-67-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[(2-amino-5-chloro-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-  
3-[[2,3-dihydro-2-(2-hydroxyethyl)-3-imino-1H-pyrazol-1-yl]methyl]-8-  
oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

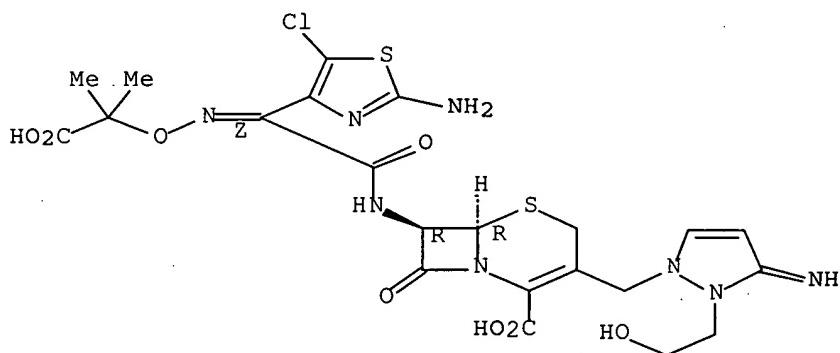


RN 199002-68-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
 7-[[[(2-amino-5-chloro-4-thiazolyl][(1-carboxy-1-methylethoxy)imino]acetyl]amino]-3-[[2,3-dihydro-2-(2-hydroxyethyl)-3-imino-1H-pyrazol-1-yl]methyl]-8-oxo-, [6R-[6α,7β(Z)]]-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IC ICM C07D501-46

ICS A61K031-545

CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1

IT 199002-20-5P 199002-22-7P 199002-35-2P 199002-51-2P

199002-52-3P 199002-57-8P

(preparation of 3-pyrazoliomethylcephem compds. as antimicrobial agents)

IT 199002-21-6P 199002-23-8P 199002-24-9P 199002-25-0P

199002-26-1P 199002-27-2P 199002-28-3P 199002-29-4P

199002-30-7P 199002-31-8P 199002-32-9P 199002-33-0P

199002-34-1P 199002-36-3P 199002-37-4P 199002-38-5P

199002-39-6P 199002-40-9P 199002-41-0P 199002-42-1P

199002-43-2P 199002-44-3P 199002-45-4P 199002-46-5P

199002-47-6P 199002-48-7P 199002-49-8P 199002-50-1P

199002-53-4P 199002-54-5P 199002-55-6P 199002-56-7P

199002-58-9P 199002-59-0P 199002-60-3P 199002-61-4P

199002-62-5P 199002-63-6P 199002-65-8P 199002-66-9P  
 199002-67-0P 199002-68-1P 199002-69-2P  
 199002-70-5P 199002-71-6P 199002-72-7P 199002-73-8P  
 199002-74-9P 199002-75-0P 199002-76-1P 199002-77-2P  
 199002-78-3P 199002-79-4P 199002-80-7P 199002-81-8P  
 199002-82-9P 199002-83-0P 199002-84-1P 199002-85-2P  
 199002-86-3P 199002-87-4P 199002-88-5P 199002-89-6P  
 199002-90-9P 199004-65-4P 199004-67-6P

(preparation of 3-pyrazoliomethylcephem compds. as antimicrobial agents)

L27 ANSWER 5 OF 7 HCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:469622 HCPLUS Full-text

DOCUMENT NUMBER: 117:69622

TITLE: Studies on condensed-heterocyclic azolium cephalosporins. III. Synthesis and antibacterial activity of  $7\beta$ -[2-(2-amino-5-substituted-thiazol-4-yl)-2(Z)-alkoxyiminoacetamido]-3-(condensed-heterocyclic azolium)methyl-3-cephem-4-carboxylates

AUTHOR(S): Nishimura, Tatsuo; Yoshimura, Yoshinobu; Miyake, Akio

CORPORATE SOURCE: Chem. Res. Lab., Takeda Chem. Ind., Ltd., Osaka, 532, Japan

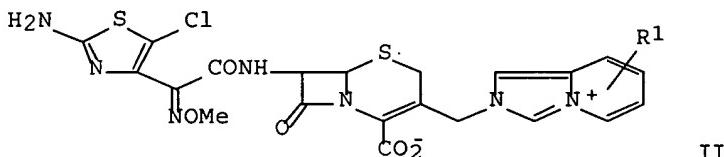
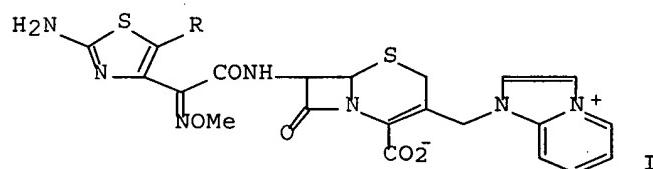
SOURCE: Journal of Antibiotics (1992), 45(4), 485-99  
 CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 23 Aug 1992

GI



AB A series of azoliummethylcephemcarboxylates, e.g., I (R = Cl, Br, iodo, SMe, SOMe, SO2Me, SO3Na) and II (R1 = H, 1-, 3-, 5-, 7-Me, 7-Cl, 7-CO2Me, 7-cyano) were prepared and tested for antibacterial activity. II (R1 = H) showed good antibacterial activity against both *Staphylococcus aureus* including methicillin-resistant strains and *Pseudomonas aeruginosa*.

IT 106850-43-5P 106850-52-6P 141912-82-5P

141912-95-0P

(preparation and bactericidal activity of)

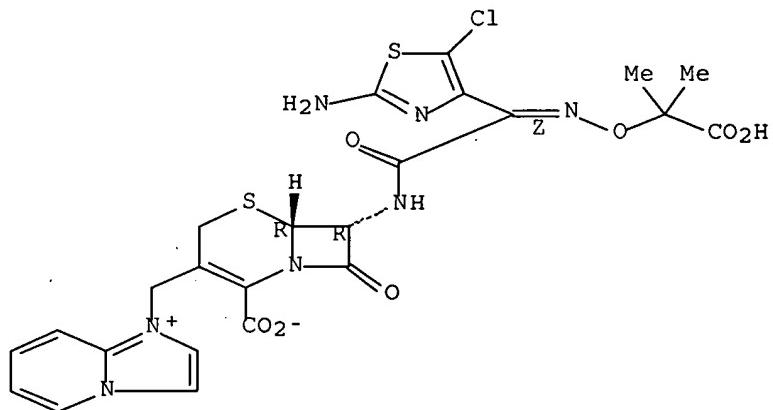
RN 106850-43-5 HCPLUS

CN Imidazo[1,2-a]pyridinium, 1-[[7-[(2-amino-5-chloro-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt,

[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



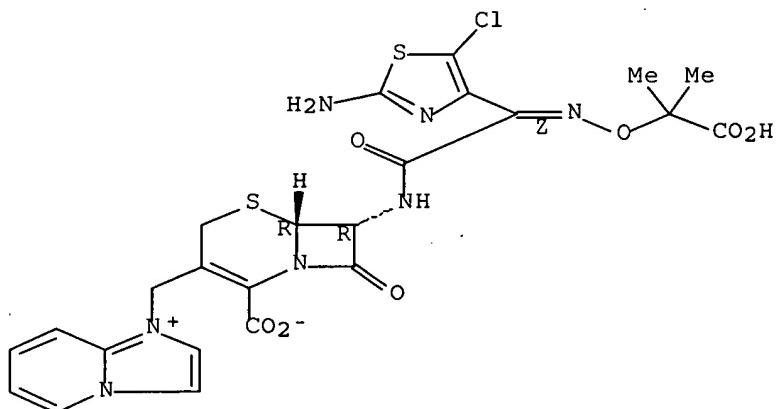
RN 106850-52-6 HCPLUS

CN Imidazo[1,2-a]pyridinium, 1-[[7-[[[(2-amino-5-chloro-4-thiazolyl][(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, monosodium salt,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

● Na

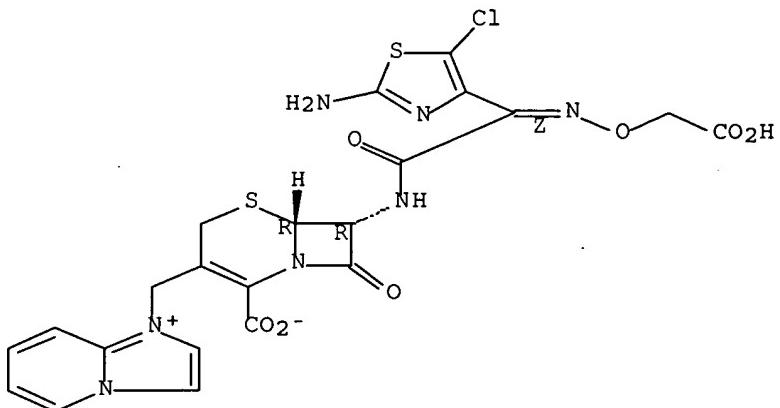
RN 141912-82-5 HCAPLUS

CN Imidazo[1,2-a]pyridinium, 1-[[7-[[[(2-amino-5-chloro-4-thiazolyl) [(carboxymethoxy) imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, monosodium salt, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

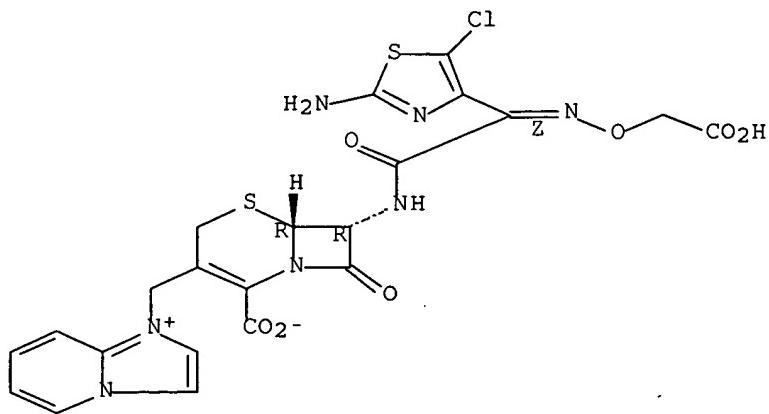
● Na

RN 141912-95-0 HCAPLUS

CN Imidazo[1,2-a]pyridinium, 1-[[7-[[[(2-amino-5-chloro-4-thiazolyl) [(carboxymethoxy) imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 141912-96-1P 141912-97-2P

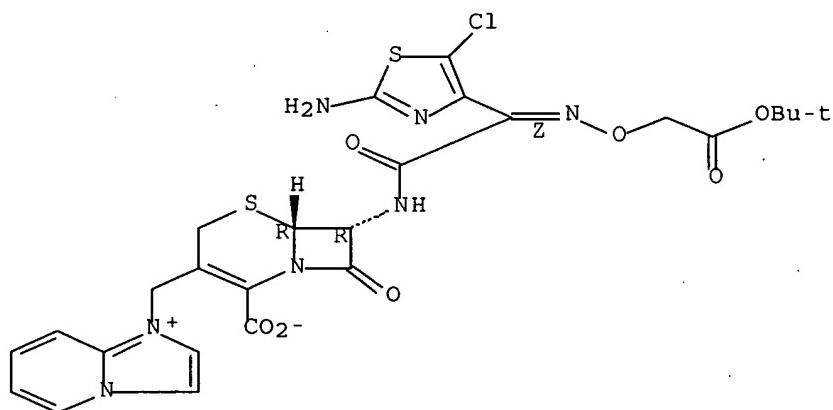
(preparation and ester hydrolysis of)

RN 141912-96-1 HCPLUS

CN Imidazo[1,2-a]pyridinium, 1-[[7-[[[(2-amino-5-chloro-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt,  
[6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

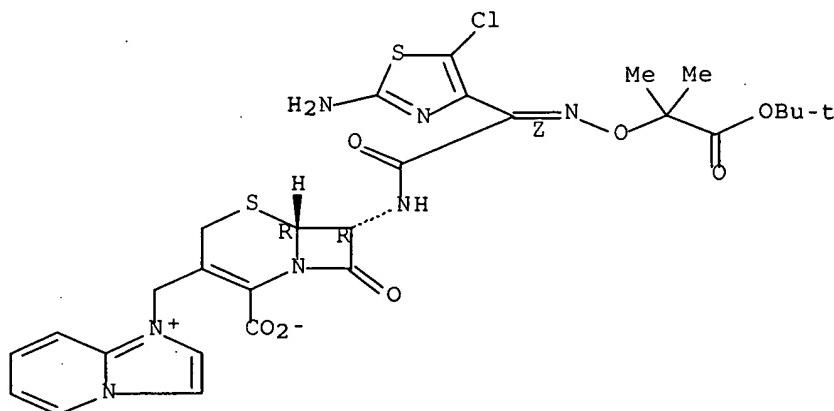


RN 141912-97-2 HCPLUS

CN Imidazo[1,2-a]pyridinium, 1-[[7-[[[(2-amino-5-chloro-4-thiazolyl)[[2-(1,1-dimethylethoxy)-1,1-dimethyl-2-oxoethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 10

IT 104468-84-0P 106850-43-5P 106850-51-5P

106850-52-6P 141912-74-5P 141912-75-6P 141912-76-7P

141912-77-8P 141912-78-9P 141912-79-0P 141912-80-3P

141912-81-4P 141912-82-5P 141912-83-6P 141912-84-7P

141912-85-8P 141912-86-9P 141912-87-0P 141912-88-1P

141912-89-2P 141912-90-5P 141912-91-6P 141912-92-7P

141912-93-8P 141912-94-9P 141912-95-0P 141946-75-0P

(preparation and bactericidal activity of)

IT 141887-13-0P 141887-14-1P 141912-96-1P

141912-97-2P

(preparation and ester hydrolysis of)

L27 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:101958 HCAPLUS Full-text

DOCUMENT NUMBER: 106:101958

TITLE: Antibacterial cephem analogs

INVENTOR(S): Miyake, Akio; Kondo, Masahiro; Fujino, Masahiko

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

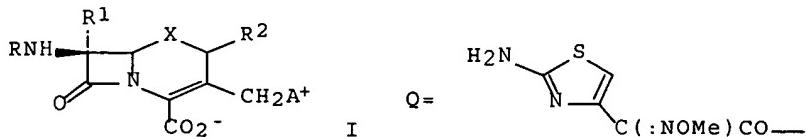
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8605184	A1	19860912	WO 1985-JP102	19850301
W: MC				
NO 8501538	A	19851024	NO 1985-1538	19850417
NO 165842	B	19910107		
NO 165842	C	19910417		
EP 160252	A2	19851106	EP 1985-104687	19850418
EP 160252	A3	19870114		
EP 160252	B1	19921223		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 79882	T	19920915	AT 1985-104687	19850418
DK 8501799	A	19851024	DK 1985-1799	19850422
FI 8501592	A	19851024	FI 1985-1592	19850422
JP 60231684	A	19851118	JP 1985-86746	19850422

ES 542447	A1	19860401	ES 1985-542447	19850422
SU 1595341	A3	19900923	SU 1985-3896500	19850422
AU 8541700	A	19851031	AU 1985-41700	19850423
AU 580995	B2	19890209		
US 4788185	A	19881129	US 1985-726438	19850423
CA 1283096	C	19910416	CA 1985-479769	19850423
CN 85105797	A	19860827	CN 1985-105797	19850730
ES 549180	A1	19870716	ES 1985-549180	19851122
NO 8504730	A	19851024	NO 1985-4730	19851126
NO 167293	B	19910715		
NO 167293	C	19911023		
NO 8600725	A	19860902	NO 1986-725	19860227
NO 166283	B	19910318		
NO 166283	C	19910626		
EP 203271	A2	19861203	EP 1986-102584	19860227
EP 203271	A3	19880601		
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AT 89826	T	19930615	AT 1986-102584	19860227
DK 8600935	A	19860902	DK 1986-935	19860228
FI 8600870	A	19860902	FI 1986-870	19860228
FI 85858	B	19920228		
FI 85858	C	19920610		
AU 8654168	A	19860904	AU 1986-54168	19860228
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WO 8605183	A1	19860912	WO 1986-JP99	19860228
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CN 1030657	B	19960110		
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JP 62149682	A	19870703	JP 1986-44991	19860228
JP 02057074	B	19901203		
CA 1295995	C	19920218	CA 1986-502935	19860228
ZA 8601566	A	19871125	ZA 1986-1566	19860303
ES 553666	A1	19870616	ES 1986-553666	19860403
ES 557129	A1	19871201	ES 1986-557129	19861003
SU 1678211	A3	19910915	SU 1986-4028462	19861031
ES 557182	A1	19880101	ES 1986-557182	19861103
ES 557182	A5	19880128		
ES 557183	A1	19880101	ES 1986-557183	19861103
ES 557183	A5	19880128		
SU 1788955	A3	19930115	SU 1988-4355188	19880211
JP 03047189	A	19910228	JP 1990-169780	19900629
JP 07030089	B	19950405		
RU 2024529	C1	19941215	RU 1990-4831061	19900921
RU 2059641	C1	19960510	RU 1992-5052288	19920630
PRIORITY APPLN. INFO.:			WO 1984-JP212	A 19840423
			WO 1984-JP270	A 19840525
			WO 1985-JP102	A 19850301
			NO 1985-1538	A 19850417
			EP 1985-104687	A 19850418
			JP 1985-209320	A 19850920
			EP 1986-102584	A 19860227

ED    Entered STN: 05 Apr 1987  
 GI



AB    The title compds. [I; R = H, acyl, alkoxy carbonyl, N-containing heterocyclyl (substituted hydroxyimino)acetyl; R1 = H, OMe, HCONH; R2 = H, Me, OH, halo; A+ = (un)substituted fused imidazolium-1-yl; X = S, S(O), O, CH2], useful as antibacterials (no data), were prepared. Thus, a solution of 7β-[2-(2-aminothiazol-4-yl)-2(Z)-(methoxyiminoacetamido)]-3-(3-oxobutyryloxymethyl)-3-cephem-4-carboxylic acid, 6-cyanoimidazo[1,2-a]pyridine, and KI in a 1:1 mixture of MeCN and H2O was allowed to react at 60-70° for 1.5 h to give 7β-(Z)-I [R = Q, R1 = R2 = H, A+ = 6-cyanoimidazo[1,2-a]pyridinium-1-yl, X = S].

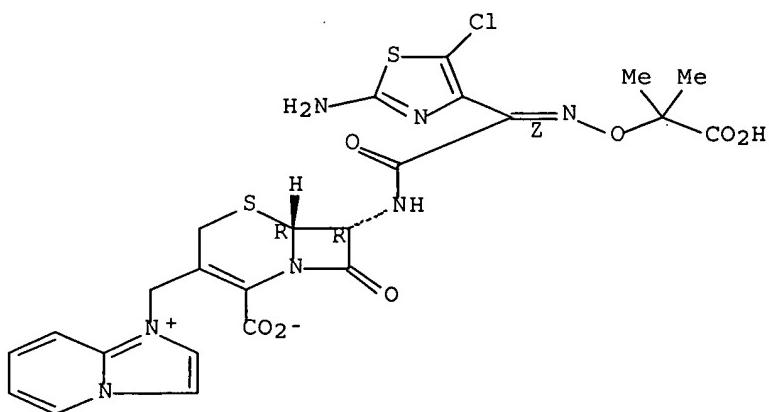
IT    106850-43-5P 106850-52-6P  
 (preparation of, as antibacterial)

RN    106850-43-5 HCPLUS

CN    Imidazo[1,2-a]pyridinium, 1-[[7-[(2-amino-5-chloro-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt,  
 [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

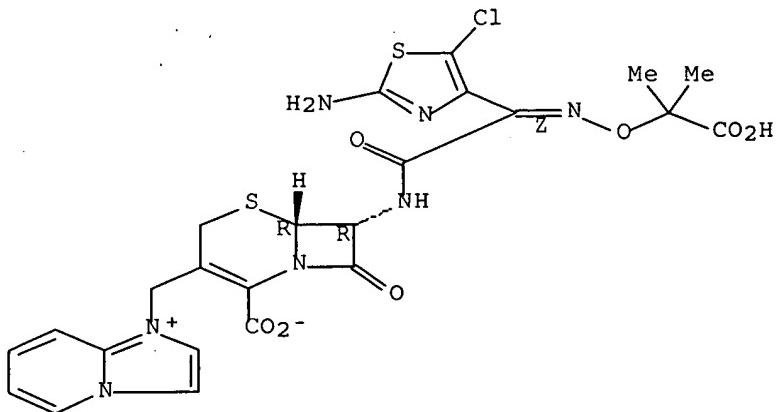


RN    106850-52-6 HCPLUS

CN    Imidazo[1,2-a]pyridinium, 1-[[7-[(2-amino-5-chloro-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, monosodium salt,  
 [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

● Na

IC ICM C07D519-00

ICS A61K031-435; A61K031-535; A61K031-545

CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1

IT	103313-15-1P	103313-25-3P	106850-32-2P	106850-33-3P
	106850-35-5P	106850-36-6P	106850-37-7P	106850-38-8P
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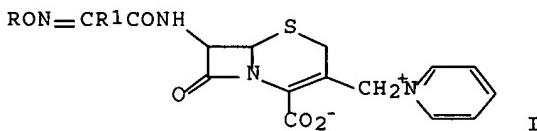
(preparation of, as antibacterial)

DOCUMENT NUMBER: 98:16504  
 TITLE: Cephem compounds, pharmaceutical compositions containing them and their starting compounds  
 INVENTOR(S): Takaya, Takao; Takasugi, Hisashi; Murata, Masayoshi; Yoshioka, Akiteru  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan  
 SOURCE: Eur. Pat. Appl., 41 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 55466	A2	19820707	EP 1981-110710	19811223
EP 55466	A3	19831116		
EP 55466	B1	19870506		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
JP 57131795	A	19820814	JP 1982-140	19561225
US 4427677	A	19840124	US 1981-332830	19811221
AT 26983	T	19870515	AT 1981-110710	19811223
JP 01156969	A	19890620	JP 1988-295323	19881122
JP 03016351	B	19910305		
PRIORITY APPLN. INFO.:			GB 1980-41639	A 19801231
			GB 1981-21557	A 19810713
			EP 1981-110710	A 19811223

OTHER SOURCE(S) : MARPAT 98:16504

ED    Entered STN: 12 May 1984  
 GI



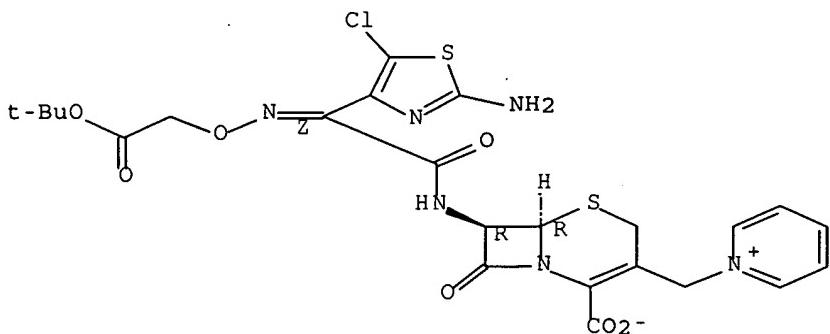
AB Pyridiniomethylcephems I [R = (un)substituted alkyl; R<sub>1</sub> = aminohalothiazolyl] were prepared. Thus N-methylthiomethoxyphthalimide was treated with N<sub>2</sub>H<sub>4</sub> to give MesCH<sub>2</sub>ONH<sub>2</sub> which was treated with Et 2-formamido-5-chloro-4-thiazolylglyoxylate and the resulting iminoacetic acid used to acylate the aminocephem to give I (R = MeSCH<sub>2</sub>, R<sub>1</sub> = 2-formamido-5-chloro-4-thiazolyl). I (R = Et, R<sub>1</sub> = 2-amino-5-chloro-4-thiazolyl) had a min. inhibitory concentration against Pseudomonas aeruginosa of 12.5 µg/mL.

IT 83985-88-0P  
 (preparation and hydrolysis of)

RN 83985-88-0 HCAPLUS

CN Pyridinium, 1-[[7-[[[(2-amino-5-chloro-4-thiazolyl)[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt,  
 [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

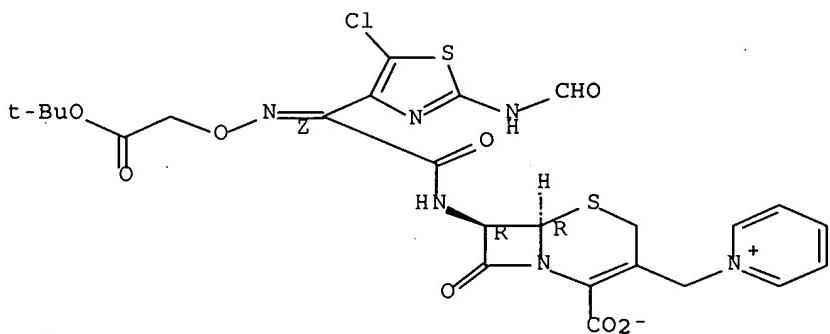


IT 83985-84-6P 83985-89-1P  
(preparation of)

RN 83985-84-6 HCPLUS

CN Pyridinium, 1-[[2-carboxy-7-[[[5-chloro-2-(formylamino)-4-thiazolyl][[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt,  
[6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

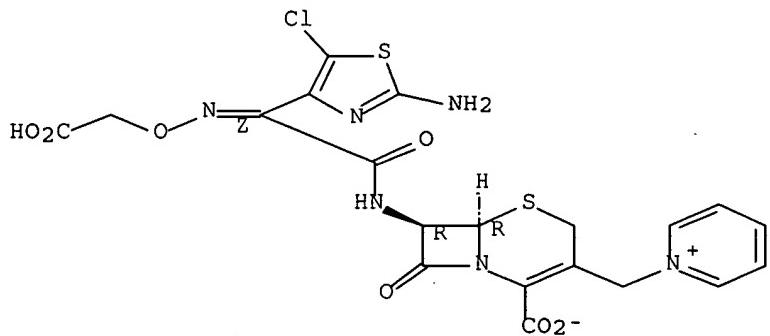
Absolute stereochemistry.  
Double bond geometry as shown.



RN 83985-89-1 HCPLUS

CN Pyridinium, 1-[[7-[[[(2-amino-5-chloro-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6 $\alpha$ ,7 $\beta$ (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



IC C07D501-46; C07D277-20; A61K031-545

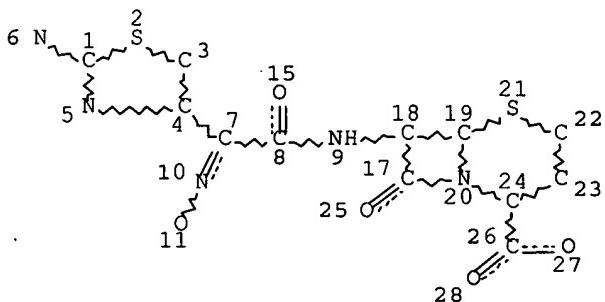
CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s) : 1

IT 83985-83-5P 83985-88-0P 83985-93-7P  
(preparation and hydrolysis of)

IT 83973-59-5P 83985-81-3P 83985-84-6P 83985-85-7P  
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83985-92-6P  
(preparation of)

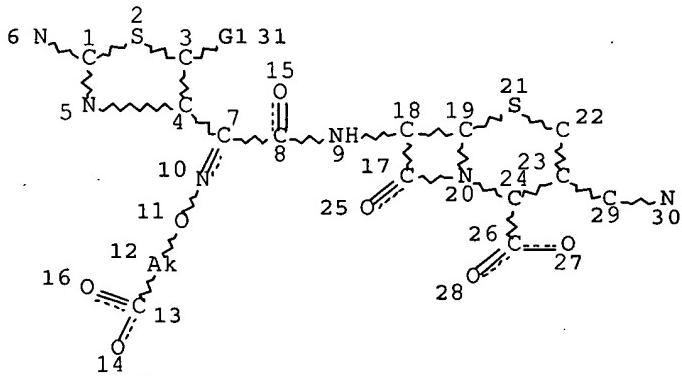
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
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 NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE  
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VAR G1=C/X/O/S  
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STEREO ATTRIBUTES: NONE  
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 L17 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L16

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 L25 422 SEA FILE=HCAPLUS ABB=ON PLU=ON YAMANO, Y?/AU  
 L26 1 SEA FILE=HCAPLUS ABB=ON PLU=ON (L24 OR L25) AND L17

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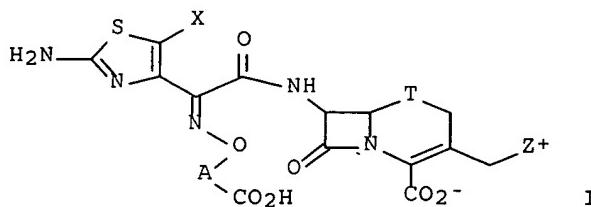
L26 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:757715 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:261088  
 TITLE: Preparation of broad-spectrum cephem compounds  
 INVENTOR(S): Nishitani, Yasuhiro; Yamano,  
 Yoshinori  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 209 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078440	A1	20030925	WO 2003-JP3249	20030318
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2479354	A1	20030925	CA 2003-2479354	20030318
AU 2003221080	A1	20030929	AU 2003-221080	20030318
EP 1489084	A1	20041222	EP 2003-712748	20030318
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BR 2003008492	A	20050503	BR 2003-8492	20030318
US 2005153950	A1	20050714	US 2003-507502	20030318
CN 1653072	A	20050810	CN 2003-810969	20030318
PRIORITY APPLN. INFO.:			JP 2002-73526	A 20020318
			WO 2003-JP3249	W 20030318

OTHER SOURCE(S): MARPAT 139:261088

ED Entered STN: 26 Sep 2003

GI



**AB** Cephem compds. I (T is S, SO, or O; X is halogeno, CN, carbamoyl which may be substituted with lower alkyl, lower alkyl, lower alkoxy, or lower alkylthio; A is substituted lower alkylene (wherein the substituent is optionally substituted mono-lower alkyl, optionally substituted lower alkylidene, or optionally substituted lower alkylene); and Z+ is an optionally substituted nitrogenous heterocyclic group having a cationic group), their ester, protected 7-aminothiazole, or pharmaceutically acceptable salts or solvates, are prepared I [X = Me, A = Me<sub>2</sub>C, T = S, Z = 1-(3-methylaminopropyl)-1H-imidazo[4,5-b]pyridinium-4-yl-] was prepared and showed antibacterial activities superior to that of ceftazidime.

**IT** 603999-29-7P

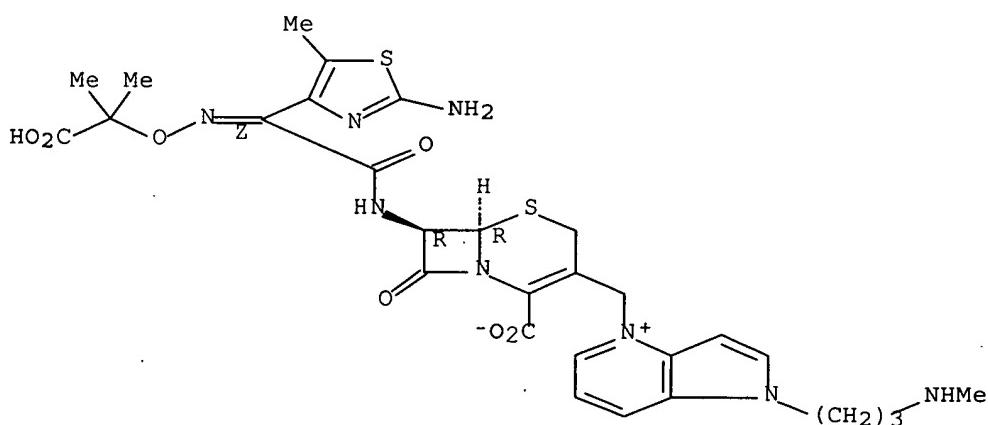
(preparation of broad-spectrum cephem compds.)

**RN** 603999-29-7 HCPLUS

**CN** 1H-Pyrrolo[3,2-b]pyridinium, 4-[[[(6R,7R)-7-[[[(2Z)-(2-amino-5-methyl-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-[3-(methylamino)propyl]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



**IC** ICM C07D501-50

ICS C07D277-40; C07D277-46; C07D277-56; C07D519-06; A61K031-546;  
A61P031-04

**CC** 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s) : 1

**IT** 603999-29-7P 603999-33-3P 603999-35-5P

603999-36-6P 603999-42-4P 603999-44-6P

603999-62-8P 603999-64-0P 603999-66-2P

604000-80-8P 604001-18-5P 604001-47-0P  
 604001-55-0P  
 (preparation of broad-spectrum cephem compds.)

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 (preparation of broad-spectrum cephem compds.)

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604002-17-7P 604002-18-8P

(preparation of broad-spectrum cephem compds.)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

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(FILE 'HOME' ENTERED AT 10:41:18 ON 09 MAR 2007)

FILE 'HCAPLUS' ENTERED AT 10:41:23 ON 09 MAR 2007

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FILE 'REGISTRY' ENTERED AT 10:41:41 ON 09 MAR 2007

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604000-07-9/BI OR 604000-09-1/BI OR 604000-11-5/BI OR  
604000-13-7/BI OR 604000-15-9/BI OR 604000-17-1/BI OR  
604000-19-3/BI OR 604000-21-7/BI OR 604000-23-9/BI OR  
604000-25-1/BI OR 604000-27-3/BI OR 604000-29-5/BI OR  
604000-31-9/BI OR 604000-33-1/BI OR 604000-35-3/BI OR  
604000-37-5/BI OR 604000-40-0/BI OR 604000-42-2/BI OR  
604000-44-4/BI OR 604000-46-6/BI OR 604000-48-8/BI OR  
604000-50-2/BI OR 604000-52-4/BI OR 604000-54-6/BI OR  
604000-56-8/BI OR 604000-58-0/BI OR 604000-60-4/BI OR  
604000-62-6/BI OR 604000-64-8/BI OR 604000-66-0/BI OR  
604000-68-2/BI OR 604000-70-6/BI OR 604000-72-8/BI OR  
604000-74-0/BI OR 604000-76-2/BI OR 604000-78-4/BI OR  
604000-80-8/BI OR 604000-82-0/BI OR 604000-84-2/BI OR  
604000-86-4/BI OR 604000-88-6/BI OR 604000-90-0/BI OR  
604000-92-2/BI OR 604000-94-4/BI OR 604000-96-6/BI OR  
604000-98-8/BI OR 604001-00-5/BI OR 604001-02-7/BI OR  
604001-04-9/BI OR 604001-06-1/BI OR 604001-08-3/BI OR  
604001-10-7/BI OR 604001-12-9/BI OR 604001-14-1/BI OR  
604001-16

L3 STR

L4 STR L3

L5 3 SEA SSS SAM L4

L6 STR L4

L7 4 SEA SSS SAM L6

L8 STR L6

L9 50 SEA SSS SAM L8

L10 27085 SEA SSS FUL L8

L11 244 SEA ABB=ON PLU=ON L10 AND L2  
SAV L10 BER502/A

L12 STR L3

L13 0 SEA SUB=L10 SSS SAM L12

L14 STR L12

L15 8 SEA SUB=L10 SSS SAM L14

L16 275 SEA SUB=L10 SSS FUL L14

FILE 'HCAPLUS' ENTERED AT 11:08:51 ON 09 MAR 2007  
L17           8 SEA ABB=ON PLU=ON L16

FILE 'REGISTRY' ENTERED AT 11:09:52 ON 09 MAR 2007  
L18           0 SEA ABB=ON PLU=ON L16 AND MEDLINE/LC  
L19           0 SEA ABB=ON PLU=ON L16 AND BIOSIS/LC  
L20           0 SEA ABB=ON PLU=ON L16 AND EMBASE/LC  
L21           0 SEA ABB=ON PLU=ON L16 AND DRUGU/LC

FILE 'CAOLD' ENTERED AT 11:11:58 ON 09 MAR 2007  
L22           0 SEA ABB=ON PLU=ON L16

FILE 'BEILSTEIN' ENTERED AT 11:12:12 ON 09 MAR 2007  
L23           0 SEA ABB=ON PLU=ON L16

FILE 'HCAPLUS' ENTERED AT 11:12:33 ON 09 MAR 2007  
L24           305 SEA ABB=ON PLU=ON NISHITANI, Y?/AU  
L25           422 SEA ABB=ON PLU=ON YAMANO, Y?/AU  
L26           1 SEA ABB=ON PLU=ON (L24 OR L25) AND L17  
L27           7 SEA ABB=ON PLU=ON L17 NOT